

TITLE OF THE INVENTION

REDUCTIVE ALKYLATION OF SATURATED CYCLIC AMINES

ABSTRACT OF THE DISCLOSURE

5 Saturated cyclic amines (e.g., piperazines and piperidines) are reductively alkylated with an N-containing heteroaryl carbaldehyde using an alkylcarboxylic acid and a borohydride to obtain a product comprising an N-((N-containing heteroaryl)methyl)-substituted cyclic amine and one or more borane complexes thereof, after which the product is treated with a catalytic amount of a Pt or Pd catalyst in the presence of an alcohol to cleave the borane
10 complex(es) and thereby afford the N-((N-containing heteroaryl)methyl) cyclic amine free of borane complex. Saturated cyclic amines are also reductively alkylated by adding an N-containing heteroaryl carbaldehyde and the amine to a tetrahydroborate salt-alkylcarboxylic acid-solvent admixture and aging the resulting reaction mixture to obtain an alkylated product substantially free of borane complex.